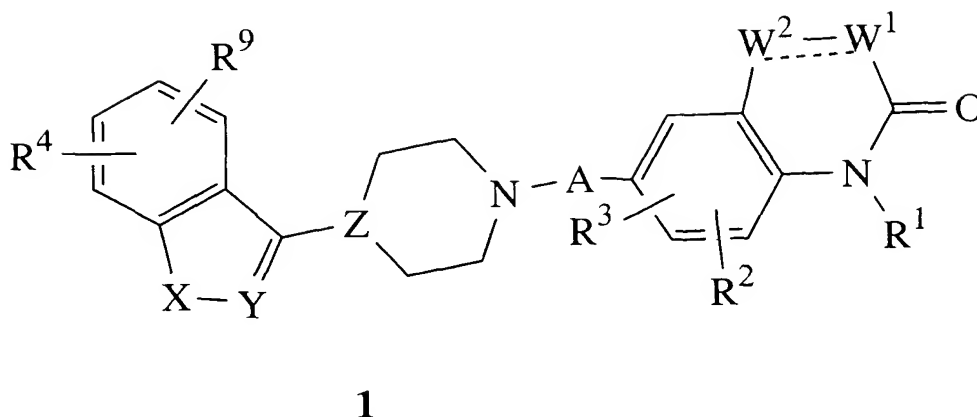


CLAIMS

1. A compound of the formula 1



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wherein X is sulfur, oxygen, SO, SO<sub>2</sub>, CH<sub>2</sub> or NR<sup>10</sup>;

Y is nitrogen or CH;

Z is nitrogen or CH;

A is -(CH<sub>2</sub>)<sub>m</sub>CH<sub>2</sub>-, -(CH<sub>2</sub>)<sub>m</sub>O-, -(CH<sub>2</sub>)<sub>m</sub>NR<sup>11</sup>-, or -(CH<sub>2</sub>)<sub>m</sub>C(R<sup>12</sup>R<sup>13</sup>)-,

10

wherein R<sup>12</sup> and R<sup>13</sup> are independently selected from (C<sub>1</sub>-C<sub>4</sub>) alkyl optionally substituted with from one to three fluorine atoms, (C<sub>1</sub>-C<sub>4</sub>) alkoxy optionally substituted with from one to three fluorine atoms, hydroxy, and aminoalkyl;

or R<sup>12</sup> and R<sup>13</sup>, together with the carbon to which they are attached,

15

form a carbonyl group;

m is an integer from one to four;

R<sup>4</sup> and R<sup>9</sup> are independently selected from hydrogen, (C<sub>1</sub>-C<sub>4</sub>) alkyl optionally substituted with from one to three fluorine atoms, (C<sub>1</sub>-C<sub>4</sub>) alkoxy optionally substituted with from one to three fluorine atoms, halogen, nitro, cyano, amino, (C<sub>1</sub>-C<sub>4</sub>) alkylamino and di-(C<sub>1</sub>-C<sub>4</sub>) alkylamino;

20

or, when X is NR<sup>10</sup>, one of R<sup>4</sup> and R<sup>9</sup> can form, together with the carbon to which it is attached, and together with R<sup>10</sup> and the nitrogen to which it is attached, a heterocyclic ring containing from 4 to 7 ring members of which from 1 to 3 ring members are heteroatoms selected

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from nitrogen, oxygen and sulfur, and of which the remaining ring members are carbon, with the proviso that when  $R^{11}$  forms a ring with one of  $R^4$  and  $R^9$ , the other of  $R^4$  and  $R^9$  is absent;

$R^{10}$  and  $R^{11}$  are independently selected from hydrogen, (C<sub>1</sub>-C<sub>4</sub>) alkyl optionally substituted with from one to three fluorine atoms and (C<sub>1</sub>-C<sub>4</sub>) alkoxy optionally substituted with from one to three fluorine atoms;

$R^1$  is hydrogen, (C<sub>1</sub>-C<sub>4</sub>) alkyl optionally substituted with from one to three fluorine atoms, aryl, -C(O) $R^{14}$  wherein  $R^{14}$  is aryl, (C<sub>1</sub>-C<sub>4</sub>) alkyl, aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl-, or heteroaryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl-, and wherein the alkyl moieties of the aryl-(C<sub>1</sub>-C<sub>4</sub>) alkyl- groups and the heteroaryl-(C<sub>1</sub>-C<sub>4</sub>) alkyl- groups can be optionally substituted with from one to three fluoro atoms, and wherein the aryl and heteroaryl moieties of these groups can optionally be substituted with one or more substituents, preferably with from zero to two substituents, independently selected from halo, nitro, amino, cyano, (C<sub>1</sub>-C<sub>6</sub>) alkyl optionally substituted with from one to three fluorine atoms and (C<sub>1</sub>-C<sub>6</sub>) alkoxy optionally substituted with from one to three fluorine atoms;

$R^2$  and  $R^3$  are independently selected from hydrogen, (C<sub>1</sub>-C<sub>4</sub>) alkyl, (C<sub>1</sub>-C<sub>4</sub>) alkoxy, halo, aryl, aryl-(C<sub>1</sub>-C<sub>4</sub>) alkyl-, heteroaryl and heteroaryl-(C<sub>1</sub>-C<sub>4</sub>) alkyl-, wherein the alkyl moieties of the (C<sub>1</sub>-C<sub>4</sub>) alkyl and (C<sub>1</sub>-C<sub>4</sub>) alkoxy groups can be optionally substituted with from one to three fluoro atoms and can also be independently optionally substituted with an amino or hydroxy substituent, and wherein alkyl moieties of the aryl-(C<sub>1</sub>-C<sub>4</sub>) alkyl- and heteroaryl-(C<sub>1</sub>-C<sub>4</sub>) alkyl groups can be optionally substituted with from one to three fluoro atoms, and wherein the aryl and heteroaryl moieties of these groups can optionally be substituted with one or more substituents, preferably from zero to two substituents, independently selected from halo, nitro, amino, cyano, (C<sub>1</sub>-C<sub>6</sub>) alkyl optionally substituted with from one to three fluorine atoms and (C<sub>1</sub>-C<sub>6</sub>) alkoxy optionally substituted with from one to three fluorine atoms;

or one of  $R^2$  and  $R^3$  can form, together with the carbon to which it is attached, and together with the quinolinone ring carbon of  $W^1$ , a saturated or unsaturated heterocyclic ring containing from 4 to 7 ring members of which from 1 to 3 ring members can be heteroatoms selected from

nitrogen, oxygen and sulfur, and of which the remaining ring members are carbon, with the proviso that when  $W^1$  forms a ring with one of  $R^2$  and  $R^3$ , the other of  $R^2$  and  $R^3$  is absent;

$W^1$  is  $CR^5R^6$  and  $W^2$  is  $CR^7R^8$ , and the broken line extending from  $W^1$  to  $W^2$  represents an optional double bond, with the proviso that when there is a double bond between  $W^1$  and  $W^2$ ,  $R^5$  and  $R^7$  are absent;

$R^5$ ,  $R^6$ ,  $R^7$ , and  $R^8$  selected, independently, from hydrogen, halogen, nitro, cyano, amino,  $(C_1-C_4)$  alkylamino, di- $(C_1-C_4)$  alkylamino,  $(C_1-C_4)$  alkyl optionally substituted with from one to three fluorine atoms, and  $(C_1-C_4)$  alkoxy optionally substituted with from one to three fluorine atoms;

or any two of  $R^5$ ,  $R^6$ ,  $R^7$ , and  $R^8$  that are attached to carbon atoms, taken together with the carbon or carbons to which they are attached, form a  $(C_3-C_7)$  saturated or unsaturated carbocyclic ring, with the proviso that the quinolinone ring carbon of  $W^1$  can not form a ring with two of  $R^5$ ,  $R^6$ ,  $R^7$ , and  $R^8$  and also form a ring with  $R^2$  or  $R^3$ ;

or a pharmaceutically acceptable salt of such compound.

2. A compound or salt according to claim 1, wherein A is  $-(CH_2)_mO-$ .

3. A compound or salt according to claim 1, wherein A is  $-(CH_2)_mNR^{11}$ .

4. A compound or salt according to claim 1, wherein X is  $CH_2$ .

5. A compound or salt according to claim 1, wherein X is NR.

6. A compound or salt according to claim 1, wherein X is sulfur.

7. A compound or salt according to claim 1, wherein X is SO or  $SO_2$ .

8. A compound or salt that is selected from the following compounds and their pharmaceutically acceptable salts:

6-[2-(4-benzo[d]isoxazol-3-yl-piperazin-1-yl)-ethyl]-4-methyl-3,4-dihydro-1H-quinolin-2-one;

6-[2-(4-benzo[d]isoxazol-3-yl-piperazin-1-yl)-ethyl]-4S-methyl-3,4-dihydro-1H-quinolin-2-one;

6-[2-(4-benzo[d]isoxazol-3-yl-piperazin-1-yl)-ethyl]-4R-methyl-3,4-dihydro-1H-quinolin-2-one;

5 6-[2-(4-benzo[d]isoxazol-3-yl-piperazin-1-yl)-ethyl]-1,4-dimethyl-3,4-dihydro-1H-quinolin-2-one;

6-[2-(4-benzo[d]isoxazol-3-yl-piperazin-1-yl)-ethyl]-4,4-dimethyl-3,4-dihydro-1H-quinolin-2-one;

10 6-[2-(4-benzo[d]isoxazol-3-yl-piperazin-1-yl)-ethyl]-1,4,4-trimethyl-3,4-dihydro-1H-quinolin-2-one;

6-[2-(4-benzo[d]isothiazol-3-yl-piperazin-1-yl)-ethyl]-4,4,8-trimethyl-3,4-dihydro-1H-quinolin-2-one;

6-[2-(4-benzo[d]isoxazol-3-yl-piperazin-1-yl)-ethyl]-3-methyl-3,4-dihydro-1H-quinolin-2-one;

15 6-[2-(4-benzo[d]isoxazol-3-yl-piperazin-1-yl)-ethyl]-3,3-dimethyl-3,4-dihydro-1H-quinolin-2-one;

6-[2-(4-benzo[d]isoxazol-3-yl-piperazin-1-yl)-ethyl]-3,4-dimethyl-3,4-dihydro-1H-quinolin-2-one;

20 6-[2-(4-benzo[d]isoxazol-3-yl-piperazin-1-yl)-ethyl]-1,3,3,4,4-pentamethyl-3,4-dihydro-1H-quinolin-2-one;

6-[2-(4-benzo[d]isoxazol-3-yl-piperazin-1-yl)-ethyl]-3,3,4-trimethyl-3,4-dihydro-1H-quinolin-2-one;

6-{2-[4-(1H-indazol-3-yl)-piperazin-1-yl]-ethyl}-4-methyl-3,4-dihydro-1H-quinolin-2-one;

25 6-{2-[4-(1H-indazol-3-yl)-piperazin-1-yl]-ethyl}-4,4-dimethyl-3,4-dihydro-1H-quinolin-2-one;

6-{2-[4-(1H-indazol-3-yl)-piperazin-1-yl]-ethyl}-3-methyl-3,4-dihydro-1H-quinolin-2-one;

30 6-{2-[4-(1H-indazol-3-yl)-piperazin-1-yl]-ethyl}-3,3-dimethyl-3,4-dihydro-1H-quinolin-2-one;

6-{2-[4-(1H-indazol-3-yl)-piperazin-1-yl]-ethyl}-3,4-dimethyl-3,4-dihydro-1H-quinolin-2-one;

6-[2-(4-benzo[d]isothiazol-3-yl-piperazin-1-yl)-ethyl]-1,3,3,4,4-pentamethyl-3,4-dihydro-1H-quinolin-2-one;

6-[2-(4-benzo[d]isothiazol-3-yl-piperazin-1-yl)-ethyl]-3,3,4-trimethyl-3,4-dihydro-1H-quinolin-2-one;

5           6-[2-(4-Benzo[d]isothiazol-3-yl-piperazin-1-yl)-ethyl]-4,4,8-trimethyl-3,4-dihydro-1H-quinolin-2-one, mesylate salt;

6-[2-(4-Benzo[d]isothiazol-3-yl-piperazin-1-yl)-ethyl]-7-chloro-4,4,8-trimethyl-3,4-dihydro-1H-quinolin-2-one methanesulfonate;

10           6-[2-(4-Benzo[d]isothiazol-3-yl-piperazin-1-yl)-ethyl]-7-fluoro-4,4,8-trimethyl-3,4-dihydro-1H-quinolin-2-one hydrochloride;

6-{3-[4-(1H-indazol-3-yl)-piperazin-1-yl]-propyl}-4,4-dimethyl-3,4-dihydro-1H-quinolin-2-one;

7-chloro-6-[3-(4-1,2-benzisothiazol-3-yl-piperazin-1-yl)-propyl]-4,4-dimethyl-3,4-dihydro-1H-quinolin-2-one;

15           7-chloro-6-[3-(4-1,2-benzisoxazol-3-yl-piperazin-1-yl)-propyl]-4,4-dimethyl-3,4-dihydro-1H-quinolin-2-one;

6-[3-(4-1,2-benzisothiazol-3-yl-piperazin-1-yl)-propyl]-4-methyl-3,4-dihydro-1H-quinolin-2-one;

20           6-[3-(4-1,2-benzisoxazol-3-yl-piperazin-1-yl)-propyl]-4-methyl-3,4-dihydro-1H-quinolin-2 one;

6-{3-[4-(1H-indazol-3-yl)-piperazin-1-yl]-propyl}-4-methyl-3,4-dihydro-1H-quinolin-2-one;

6-[3-(4-1,2-benzisothiazol-3-yl-piperazin-1-yl)-propyl]-3,3-dimethyl-3,4-dihydro-1H-quinolin-2-one;

25           6-[3-(4-1,2-benzisoxazol-3-yl-piperazin-1-yl)-propyl]-3,3-dimethyl-3,4-dihydro-1H-quinolin-2 one;

6-{3-[4-(1H-indazol-3-yl)-piperazin-1-yl]-propyl}-3,3-dimethyl-3,4-dihydro-1H-quinolin-2-one;

30           6-[3-(4-1,2-benzisothiazol-3-yl-piperazin-1-yl)-propyl]-3-methyl-3,4-dihydro-1H-quinolin-2-one;

6-[3-(4-1,2-benzisoxazol-3-yl-piperazin-1-yl)-propyl]-3-methyl-3,4-dihydro-1H-quinolin-2 one; and

6-{3-[4-(1H-indazol-3-yl)-piperazin-1-yl]-propyl}-3-methyl-3,4-dihydro-1H-quinolin-2-one.

9. A compound according to claim 1 wherein A is  $-(CH_2)_mCH_2-$  wherein m is two.

10. A compound according to claim 1 wherein  $R^4$  is hydrogen and one or both of  $R^2$  and  $R^3$  are hydrogen.

11. A compound according to claim 1 wherein  $R^1$ ,  $R^5$ ,  $R^6$ ,  $R^7$  and  $R^8$  are selected, independently, from hydrogen and  $(C_1-C_3)$ alkyl.

12. A compound according to claim 1, wherein one or both of  $R^2$  and  $R^3$  are hydrogen.

13. A pharmaceutical composition for treating a disorder or condition selected from single episodic or recurrent major depressive disorders, dysthymic disorders, depressive neurosis and neurotic depression, melancholic depression including anorexia, weight loss, insomnia, early morning waking or psychomotor retardation; atypical depression (or reactive depression) including increased appetite, hypersomnia, psychomotor agitation or irritability, seasonal affective disorder and pediatric depression; bipolar disorders or manic depression, for example, bipolar I disorder, bipolar II disorder and cyclothymic disorder; conduct disorder; disruptive behavior disorder; attention deficit hyperactivity disorder (ADHD); behavioral disturbances associated with mental retardation, autistic disorder, and conduct disorder; anxiety disorders such as panic disorder with or without agoraphobia, agoraphobia without history of panic disorder, specific phobias, for example, specific animal phobias, social anxiety, social phobia, obsessive-compulsive disorder, stress disorders including post-traumatic stress disorder and acute stress disorder, and generalized anxiety disorders; borderline personality disorder; schizophrenia and other psychotic disorders, for example,

schizophreniform disorders, schizoaffective disorders, delusional disorders  
brief psychotic disorders, shared psychotic disorders, psychotic disorders  
with delusions or hallucinations, psychotic episodes of anxiety, anxiety  
associated with psychosis, psychotic mood disorders such as severe  
5 major depressive disorder; mood disorders associated with psychotic  
disorders such as acute mania and depression associated with bipolar  
disorder; mood disorders associated with schizophrenia; delirium,  
dementia, and amnestic and other cognitive or neurodegenerative  
disorders, such as Parkinson's disease (PD), Huntington's disease (HD),  
10 Alzheimer's disease, senile dementia, dementia of the Alzheimer's type,  
memory disorders, loss of executive function, vascular dementia, and  
other dementias, for example, due to HIV disease, head trauma,  
Parkinson's disease, Huntington's disease, Pick's disease, Creutzfeldt-  
Jakob disease, or due to multiple etiologies; movement disorders such as  
15 akinesias, dyskinesias, including familial paroxysmal dyskinesias,  
spasticities, Tourette's syndrome, Scott syndrome, PALSYS and akinetic-  
rigid syndrome; extra-pyramidal movement disorders such as medication-  
induced movement disorders, for example, neuroleptic-induced  
Parkinsonism, neuroleptic malignant syndrome, neuroleptic-induced acute  
20 dystonia, neuroleptic-induced acute akathisia, neuroleptic-induced tardive  
dyskinesia and medication-induced postural tremour; chemical  
dependencies and addictions (e.g., dependencies on, or addictions to,  
alcohol, heroin, cocaine, benzodiazepines, nicotine, or phenobarbitol) and  
behavioral addictions such as an addiction to gambling; and ocular  
25 disorders such as glaucoma and ischemic retinopathy in a mammal,  
including a human, comprising an amount of a compound according to  
claim 1, or a pharmaceutically acceptable salt thereof, that is effective in  
treating such disorder or condition, and a pharmaceutically acceptable  
carrier.

30  
14. A method for treating a disorder or condition selected from single  
episodic or recurrent major depressive disorders, dysthymic disorders,  
depressive neurosis and neurotic depression, melancholic depression

syndrome, neuroleptic-induced acute dystonia, neuroleptic-induced acute akathisia, neuroleptic-induced tardive dyskinesia and medication-induced postural tremour; chemical dependencies and addictions (e.g., dependencies on, or addictions to, alcohol, heroin, cocaine, benzodiazepines, nicotine, or phenobarbital) and behavioral addictions such as an addiction to gambling; and ocular disorders such as glaucoma and ischemic retinopathy in a mammal, including a human, comprising administering to a mammal in need of such treatment an amount of a compound according to claim 1, or a pharmaceutically acceptable salt thereof, that is effective in treating such disorder or condition.

15. A method according to claim 14, wherein the disorder or condition that is being treated is selected from major depression, single episode depression, recurrent depression, child abuse induced depression, postpartum depression, dysthymia, cyclothymia and bipolar disorder.

16. A method according to claim 14, wherein the disorder or condition that is being treated is selected from schizophrenia, schizoaffective disorder, delusional disorder, substance-induced psychotic disorder, brief psychotic disorder, shared psychotic disorder, psychotic disorder due to a general medical condition, and schizophreniform disorder.

17. A method according to claim 14, wherein the disorder or condition that is being treated is selected from autism, pervasive development disorder, and attention deficit hyperactivity disorder.

18. A method according to claim 14, wherein the disorder or condition that is being treated is selected from generalized anxiety disorder, panic disorder, obsessive-compulsive disorder, post-traumatic stress disorder, and phobias, including social phobia, agoraphobia, and specific phobias.

19. A method according to claim 14, wherein the compound according to claim 1 is administered to a human for the treatment of any two or more



including anorexia, weight loss, insomnia, early morning waking or psychomotor retardation; atypical depression (or reactive depression) including increased appetite, hypersomnia, psychomotor agitation or irritability, seasonal affective disorder and pediatric depression; bipolar disorders or manic depression, for example, bipolar I disorder, bipolar II disorder and cyclothymic disorder; conduct disorder; disruptive behavior disorder; attention deficit hyperactivity disorder (ADHD); behavioral disturbances associated with mental retardation, autistic disorder, and conduct disorder; anxiety disorders such as panic disorder with or without agoraphobia, agoraphobia without history of panic disorder, specific phobias, for example, specific animal phobias, social anxiety, social phobia, obsessive-compulsive disorder, stress disorders including post-traumatic stress disorder and acute stress disorder, and generalized anxiety disorders; borderline personality disorder; schizophrenia and other psychotic disorders, for example, schizophreniform disorders, schizoaffective disorders, delusional disorders brief psychotic disorders, shared psychotic disorders, psychotic disorders with delusions or hallucinations, psychotic episodes of anxiety, anxiety associated with psychosis, psychotic mood disorders such as severe major depressive disorder; mood disorders associated with psychotic disorders such as acute mania and depression associated with bipolar disorder; mood disorders associated with schizophrenia; delirium, dementia, and amnestic and other cognitive or neurodegenerative disorders, such as Parkinson's disease (PD), Huntington's disease (HD), Alzheimer's disease, senile dementia, dementia of the Alzheimer's type, memory disorders, loss of executive function, vascular dementia, and other dementias, for example, due to HIV disease, head trauma, Parkinson's disease, Huntington's disease, Pick's disease, Creutzfeldt-Jakob disease, or due to multiple etiologies; movement disorders such as akinesias, dyskinesias, including familial paroxysmal dyskinesias, spasticities, Tourette's syndrome, Scott syndrome, PALSYS and akinetic-rigid syndrome; extra-pyramidal movement disorders such as medication-induced movement disorders, for example, neuroleptic-induced Parkinsonism, neuroleptic malignant

comorbid disorders or conditions selected from those disorders and conditions referred to in any of the above methods.

20. A method according to claim 14, wherein the disorder or condition being treated is schizophrenia with concomitant depression.

21. A method according to claim 14, wherein the disorder or condition being treated is schizophrenia with concomitant anxiety.

22. A method of treating a disorder or condition selected from single episodic or recurrent major depressive disorders, dysthymic disorders, depressive neurosis and neurotic depression, melancholic depression including anorexia, weight loss, insomnia, early morning waking or psychomotor retardation; atypical depression (or reactive depression) including increased appetite, hypersomnia, psychomotor agitation or irritability, seasonal affective disorder and pediatric depression; bipolar disorders or manic depression, for example, bipolar I disorder, bipolar II disorder and cyclothymic disorder; conduct disorder; disruptive behavior disorder; attention deficit hyperactivity disorder (ADHD); behavioral disturbances associated with mental retardation, autistic disorder, and conduct disorder; anxiety disorders such as panic disorder with or without agoraphobia, agoraphobia without history of panic disorder, specific phobias, for example, specific animal phobias, social anxiety, social phobia, obsessive-compulsive disorder, stress disorders including post-traumatic stress disorder and acute stress disorder, and generalized anxiety disorders; borderline personality disorder; schizophrenia and other psychotic disorders, for example, schizophreniform disorders, schizoaffective disorders, delusional disorders, brief psychotic disorders, shared psychotic disorders, psychotic disorders with delusions or hallucinations, psychotic episodes of anxiety, anxiety associated with psychosis, psychotic mood disorders such as severe major depressive disorder; mood disorders associated with psychotic disorders such as acute mania and depression associated with bipolar disorder; mood

disorders associated with schizophrenia; delirium, dementia, and amnestic and other cognitive or neurodegenerative disorders, such as Parkinson's disease (PD), Huntington's disease (HD), Alzheimer's disease, senile dementia, dementia of the Alzheimer's type, memory disorders, loss of executive function, vascular dementia, and other dementias, for example, due to HIV disease, head trauma, Parkinson's disease, Huntington's disease, Pick's disease, Creutzfeldt-Jakob disease, or due to multiple etiologies; movement disorders such as akinesias, dyskinesias, including familial paroxysmal dyskinesias, spasticities, Tourette's syndrome, Scott syndrome, PALSYS and akinetic-rigid syndrome; extra-pyramidal movement disorders such as medication-induced movement disorders, for example, neuroleptic-induced Parkinsonism, neuroleptic malignant syndrome, neuroleptic-induced acute dystonia, neuroleptic-induced acute akathisia, neuroleptic-induced tardive dyskinesia and medication-induced postural tremour; chemical dependencies and addictions (e.g., dependencies on, or addictions to, alcohol, heroin, cocaine, benzodiazepines, nicotine, or phenobarbital) and behavioral addictions such as an addiction to gambling; and ocular disorders such as glaucoma and ischemic retinopathy in a mammal, including a human, comprising administering to said mammal:

(a) a compound according to claim 1 or a pharmaceutically acceptable salt thereof; and

(b) another pharmaceutically active compound that is an antidepressant or an anti-anxiety agent, or a pharmaceutically acceptable salt thereof;

wherein the active agents "a" and "b" are present in amounts that render the combination effective in treating such disorder or condition.

23. A pharmaceutical composition for treating a disorder or condition selected from single episodic or recurrent major depressive disorders, dysthymic disorders, depressive neurosis and neurotic depression, melancholic depression including anorexia, weight loss, insomnia, early morning waking or psychomotor retardation; atypical depression (or

reactive depression) including increased appetite, hypersomnia, psychomotor agitation or irritability, seasonal affective disorder and pediatric depression; bipolar disorders or manic depression, for example, bipolar I disorder, bipolar II disorder and cyclothymic disorder; conduct disorder; disruptive behavior disorder; attention deficit hyperactivity disorder (ADHD); behavioral disturbances associated with mental retardation, autistic disorder, and conduct disorder; anxiety disorders such as panic disorder with or without agoraphobia, agoraphobia without history of panic disorder, specific phobias, for example, specific animal phobias, social anxiety, social phobia, obsessive-compulsive disorder, stress disorders including post-traumatic stress disorder and acute stress disorder, and generalized anxiety disorders; borderline personality disorder; schizophrenia and other psychotic disorders, for example, schizophreniform disorders, schizoaffective disorders, delusional disorders brief psychotic disorders, shared psychotic disorders, psychotic disorders with delusions or hallucinations, psychotic episodes of anxiety, anxiety associated with psychosis, psychotic mood disorders such as severe major depressive disorder; mood disorders associated with psychotic disorders such as acute mania and depression associated with bipolar disorder; mood disorders associated with schizophrenia; delirium, dementia, and amnestic and other cognitive or neurodegenerative disorders, such as Parkinson's disease (PD), Huntington's disease (HD), Alzheimer's disease, senile dementia, dementia of the Alzheimer's type, memory disorders, loss of executive function, vascular dementia, and other dementias, for example, due to HIV disease, head trauma, Parkinson's disease, Huntington's disease, Pick's disease, Creutzfeldt-Jakob disease, or due to multiple etiologies; movement disorders such as akinesias, dyskinesias, including familial paroxysmal dyskinesias, spasticities, Tourette's syndrome, Scott syndrome, PALSYS and akinetic-rigid syndrome; extra-pyramidal movement disorders such as medication-induced movement disorders, for example, neuroleptic-induced Parkinsonism, neuroleptic malignant syndrome, neuroleptic-induced acute dystonia, neuroleptic-induced acute akathisia, neuroleptic-induced tardive

dyskinesia and medication-induced postural tremour; chemical dependencies and addictions (e.g., dependencies on, or addictions to, alcohol, heroin, cocaine, benzodiazepines, nicotine, or phenobarbitol) and behavioral addictions such as an addiction to gambling; and ocular disorders such as glaucoma and ischemic retinopathy in a mammal comprising:

(a) a compound according to claim 1 or a pharmaceutically acceptable salt thereof;

(b) another pharmaceutically active agent that is an antidepressant or an anti-anxiety agent; and

(c) a pharmaceutically acceptable carrier.

24. A method according to claim 22, wherein the disorder or condition being treated is schizophrenia.

25. A method according to claim 22, wherein the disorder or condition that is being treated is selected from schizophrenia, schizoaffective disorder, delusional disorder, substance-induced psychotic disorder, brief psychotic disorder, shared psychotic disorder, psychotic disorder due to a general medical condition, and schizophreniform disorder.

26. A method according to claim 22, wherein the disorder or condition that is being treated is selected from autism, pervasive development disorder, and attention deficit hyperactivity disorder.

27. A method according to claim 22, wherein the disorder or condition that is being treated is selected from generalized anxiety disorder, panic disorder, obsessive-compulsive disorder, post-traumatic stress disorder, and phobias, including social phobia, agoraphobia, and specific phobias.

28. A method according to claim 22, wherein the active agents "a" and "b" are administered to a human for the treatment of any two or more

comorbid disorders or conditions selected from those disorders and conditions referred to in any of the above methods.

29. A method according to claim 22, wherein the disorder or condition being treated is schizophrenia with concomitant depression.

30. A method according to claim 22, wherein the disorder or condition being treated is schizophrenia with concomitant anxiety.

31. A pharmaceutical composition for treating a disorder or condition selected from single episodic or recurrent major depressive disorders, dysthymic disorders, depressive neurosis and neurotic depression, melancholic depression including anorexia, weight loss, insomnia, early morning waking or psychomotor retardation; atypical depression (or reactive depression) including increased appetite, hypersomnia, psychomotor agitation or irritability, seasonal affective disorder and pediatric depression; bipolar disorders or manic depression, for example, bipolar I disorder, bipolar II disorder and cyclothymic disorder; conduct disorder; disruptive behavior disorder; attention deficit hyperactivity disorder (ADHD); behavioral disturbances associated with mental retardation, autistic disorder, and conduct disorder; anxiety disorders such as panic disorder with or without agoraphobia, agoraphobia without history of panic disorder, specific phobias, for example, specific animal phobias, social anxiety, social phobia, obsessive-compulsive disorder, stress disorders including post-traumatic stress disorder and acute stress disorder, and generalized anxiety disorders; borderline personality disorder; schizophrenia and other psychotic disorders, for example, schizophreniform disorders, schizoaffective disorders, delusional disorders, brief psychotic disorders, shared psychotic disorders, psychotic disorders with delusions or hallucinations, psychotic episodes of anxiety, anxiety associated with psychosis, psychotic mood disorders such as severe major depressive disorder; mood disorders associated with psychotic disorders such as acute mania and depression associated with bipolar

disorder; mood disorders associated with schizophrenia; delirium, dementia, and amnestic and other cognitive or neurodegenerative disorders, such as Parkinson's disease (PD), Huntington's disease (HD), Alzheimer's disease, senile dementia, dementia of the Alzheimer's type, memory disorders, loss of executive function, vascular dementia, and other dementias, for example, due to HIV disease, head trauma, Parkinson's disease, Huntington's disease, Pick's disease, Creutzfeldt-Jakob disease, or due to multiple etiologies; movement disorders such as akinesias, dyskinesias, including familial paroxysmal dyskinesias, spasticities, Tourette's syndrome, Scott syndrome, PALSYS and akinetic-rigid syndrome; extra-pyramidal movement disorders such as medication-induced movement disorders, for example, neuroleptic-induced Parkinsonism, neuroleptic malignant syndrome, neuroleptic-induced acute dystonia, neuroleptic-induced acute akathisia, neuroleptic-induced tardive dyskinesia and medication-induced postural tremour; chemical dependencies and addictions (e.g., dependencies on, or addictions to, alcohol, heroin, cocaine, benzodiazepines, nicotine, or phenobarbital) and behavioral addictions such as an addiction to gambling; and ocular disorders such as glaucoma and ischemic retinopathy in a mammal, including a human, comprising an amount of a compound according to claim 8, or a pharmaceutically acceptable salt thereof, that is effective in treating such disorder or condition, and a pharmaceutically acceptable carrier.

32. A method for treating a disorder or condition selected from single episodic or recurrent major depressive disorders, dysthymic disorders, depressive neurosis and neurotic depression, melancholic depression including anorexia, weight loss, insomnia, early morning waking or psychomotor retardation; atypical depression (or reactive depression) including increased appetite, hypersomnia, psychomotor agitation or irritability, seasonal affective disorder and pediatric depression; bipolar disorders or manic depression, for example, bipolar I disorder, bipolar II disorder and cyclothymic disorder; conduct disorder; disruptive behavior

disorder; attention deficit hyperactivity disorder (ADHD); behavioral disturbances associated with mental retardation, autistic disorder, and conduct disorder; anxiety disorders such as panic disorder with or without agoraphobia, agoraphobia without history of panic disorder, specific phobias, for example, specific animal phobias, social anxiety, social phobia, obsessive-compulsive disorder, stress disorders including post-traumatic stress disorder and acute stress disorder, and generalized anxiety disorders; borderline personality disorder; schizophrenia and other psychotic disorders, for example, schizophreniform disorders, schizoaffective disorders, delusional disorders brief psychotic disorders, shared psychotic disorders, psychotic disorders with delusions or hallucinations, psychotic episodes of anxiety, anxiety associated with psychosis, psychotic mood disorders such as severe major depressive disorder; mood disorders associated with psychotic disorders such as acute mania and depression associated with bipolar disorder; mood disorders associated with schizophrenia; delirium, dementia, and amnestic and other cognitive or neurodegenerative disorders, such as Parkinson's disease (PD), Huntington's disease (HD), Alzheimer's disease, senile dementia, dementia of the Alzheimer's type, memory disorders, loss of executive function, vascular dementia, and other dementias, for example, due to HIV disease, head trauma, Parkinson's disease, Huntington's disease, Pick's disease, Creutzfeldt-Jakob disease, or due to multiple etiologies; movement disorders such as akinesias, dyskinesias, including familial paroxysmal dyskinesias, spasticities, Tourette's syndrome, Scott syndrome, PALSYS and akinetic-rigid syndrome; extra-pyramidal movement disorders such as medication-induced movement disorders, for example, neuroleptic-induced Parkinsonism, neuroleptic malignant syndrome, neuroleptic-induced acute dystonia, neuroleptic-induced acute akathisia, neuroleptic-induced tardive dyskinesia and medication-induced postural tremour; chemical dependencies and addictions (e.g., dependencies on, or addictions to, alcohol, heroin, cocaine, benzodiazepines, nicotine, or phenobarbitol) and behavioral addictions such as an addiction to gambling; and ocular disorders such as glaucoma



and ischemic retinopathy in a mammal, including a human, comprising administering to a mammal in need of such treatment an amount of a compound according to claim 8, or a pharmaceutically acceptable salt thereof, that is effective in treating such disorder or condition.

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33. A method according to claim 32, wherein the disorder or condition that is being treated is selected from major depression, single episode depression, recurrent depression, child abuse induced depression, postpartum depression, dysthymia, cyclothymia and bipolar disorder.

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34. A method according to claim 32, wherein the disorder or condition that is being treated is selected from schizophrenia, schizoaffective disorder, delusional disorder, substance-induced psychotic disorder, brief psychotic disorder, shared psychotic disorder, psychotic disorder due to a general medical condition, and schizophreniform disorder.

15

35. A method according to claim 32, wherein the disorder or condition that is being treated is selected from autism, pervasive development disorder, and attention deficit hyperactivity disorder.

20

36. A method according to claim 32, wherein the disorder or condition that is being treated is selected from generalized anxiety disorder, panic disorder, obsessive-compulsive disorder, post-traumatic stress disorder, and phobias, including social phobia, agoraphobia, and specific phobias.

25

37. A method according to claim 32, wherein the compound according to claim 8 is administered to a human for the treatment of any two or more comorbid disorders or conditions selected from those disorders and conditions referred to in any of the above methods.

30

38. A method according to claim 32, wherein the disorder or condition being treated is schizophrenia with concomitant depression.

39. A method according to claim 32, wherein the disorder or condition being treated is schizophrenia with concomitant anxiety.

40. A method of treating a disorder or condition selected from single  
5 episodic or recurrent major depressive disorders, dysthymic disorders, depressive neurosis and neurotic depression, melancholic depression including anorexia, weight loss, insomnia, early morning waking or psychomotor retardation; atypical depression (or reactive depression)  
10 including increased appetite, hypersomnia, psychomotor agitation or irritability, seasonal affective disorder and pediatric depression; bipolar disorders or manic depression, for example, bipolar I disorder, bipolar II disorder and cyclothymic disorder; conduct disorder; disruptive behavior disorder; attention deficit hyperactivity disorder (ADHD); behavioral disturbances associated with mental retardation, autistic disorder, and  
15 conduct disorder; anxiety disorders such as panic disorder with or without agoraphobia, agoraphobia without history of panic disorder, specific phobias, for example, specific animal phobias, social anxiety, social phobia, obsessive-compulsive disorder, stress disorders including post-traumatic stress disorder and acute stress disorder, and generalized  
20 anxiety disorders; borderline personality disorder; schizophrenia and other psychotic disorders, for example, schizophreniform disorders, schizoaffective disorders, delusional disorders, brief psychotic disorders, shared psychotic disorders, psychotic disorders with delusions or hallucinations, psychotic episodes of anxiety, anxiety associated with  
25 psychosis, psychotic mood disorders such as severe major depressive disorder; mood disorders associated with psychotic disorders such as acute mania and depression associated with bipolar disorder; mood disorders associated with schizophrenia; delirium, dementia, and amnestic and other cognitive or neurodegenerative disorders, such as Parkinson's  
30 disease (PD), Huntington's disease (HD), Alzheimer's disease, senile dementia, dementia of the Alzheimer's type, memory disorders, loss of executive function, vascular dementia, and other dementias, for example, due to HIV disease, head trauma, Parkinson's disease, Huntington's

disease, Pick's disease, Creutzfeldt-Jakob disease, or due to multiple etiologies; movement disorders such as akinesias, dyskinesias, including familial paroxysmal dyskinesias, spasticities, Tourette's syndrome, Scott syndrome, PALSYS and akinetic-rigid syndrome; extra-pyramidal movement disorders such as medication-induced movement disorders, for example, neuroleptic-induced Parkinsonism, neuroleptic malignant syndrome, neuroleptic-induced acute dystonia, neuroleptic-induced acute akathisia, neuroleptic-induced tardive dyskinesia and medication-induced postural tremour; chemical dependencies and addictions (e.g., dependencies on, or addictions to, alcohol, heroin, cocaine, benzodiazepines, nicotine, or phenobarbitol) and behavioral addictions such as an addiction to gambling; and ocular disorders such as glaucoma and ischemic retinopathy in a mammal, including a human, comprising administering to said mammal:

(a) a compound according to claim 8 or a pharmaceutically acceptable salt thereof; and

(b) another pharmaceutically active compound that is an antidepressant or an anti-anxiety agent, or a pharmaceutically acceptable salt thereof;

wherein the active agents "a" and "b" are present in amounts that render the combination effective in treating such disorder or condition.

41. A pharmaceutical composition for treating a disorder or condition selected from single episodic or recurrent major depressive disorders, dysthymic disorders, depressive neurosis and neurotic depression, melancholic depression including anorexia, weight loss, insomnia, early morning waking or psychomotor retardation; atypical depression (or reactive depression) including increased appetite, hypersomnia, psychomotor agitation or irritability, seasonal affective disorder and pediatric depression; bipolar disorders or manic depression, for example, bipolar I disorder, bipolar II disorder and cyclothymic disorder; conduct disorder; disruptive behavior disorder; attention deficit hyperactivity disorder (ADHD); behavioral disturbances associated with mental

retardation, autistic disorder, and conduct disorder; anxiety disorders such as panic disorder with or without agoraphobia, agoraphobia without history of panic disorder, specific phobias, for example, specific animal phobias, social anxiety, social phobia, obsessive-compulsive disorder, stress disorders including post-traumatic stress disorder and acute stress disorder, and generalized anxiety disorders; borderline personality disorder; schizophrenia and other psychotic disorders, for example, schizophreniform disorders, schizoaffective disorders, delusional disorders, brief psychotic disorders, shared psychotic disorders, psychotic disorders with delusions or hallucinations, psychotic episodes of anxiety, anxiety associated with psychosis, psychotic mood disorders such as severe major depressive disorder; mood disorders associated with psychotic disorders such as acute mania and depression associated with bipolar disorder; mood disorders associated with schizophrenia; delirium, dementia, and amnestic and other cognitive or neurodegenerative disorders, such as Parkinson's disease (PD), Huntington's disease (HD), Alzheimer's disease, senile dementia, dementia of the Alzheimer's type, memory disorders, loss of executive function, vascular dementia, and other dementias, for example, due to HIV disease, head trauma, Parkinson's disease, Huntington's disease, Pick's disease, Creutzfeldt-Jakob disease, or due to multiple etiologies; movement disorders such as akinesias, dyskinesias, including familial paroxysmal dyskinesias, spasticities, Tourette's syndrome, Scott syndrome, PALSYS and akinetic-rigid syndrome; extra-pyramidal movement disorders such as medication-induced movement disorders, for example, neuroleptic-induced Parkinsonism, neuroleptic malignant syndrome, neuroleptic-induced acute dystonia, neuroleptic-induced acute akathisia, neuroleptic-induced tardive dyskinesia and medication-induced postural tremour; chemical dependencies and addictions (e.g., dependencies on, or addictions to, alcohol, heroin, cocaine, benzodiazepines, nicotine, or phenobarbital) and behavioral addictions such as an addiction to gambling; and ocular disorders such as glaucoma and ischemic retinopathy in a mammal comprising:

(a) a compound according to claim 8 or a pharmaceutically acceptable salt thereof;

(b) another pharmaceutically active agent that is an antidepressant or an anti-anxiety agent; and

5 (c) a pharmaceutically acceptable carrier.

42. A method according to claim 40, wherein the disorder or condition being treated is schizophrenia.

10 43. A method according to claim 40, wherein the disorder or condition that is being treated is selected from schizophrenia, schizoaffective disorder, delusional disorder, substance-induced psychotic disorder, brief psychotic disorder, shared psychotic disorder, psychotic disorder due to a general medical condition, and schizophreniform disorder.

15 44. A method according to claim 40, wherein the disorder or condition that is being treated is selected from autism, pervasive development disorder, and attention deficit hyperactivity disorder.

20 45. A method according to claim 40, wherein the disorder or condition that is being treated is selected from generalized anxiety disorder, panic disorder, obsessive-compulsive disorder, post-traumatic stress disorder, and phobias, including social phobia, agoraphobia, and specific phobias.

25 46. A method according to claim 40, wherein the active agents "a" and "b" are administered to a human for the treatment of any two or more comorbid disorders or conditions selected from those disorders and conditions referred to in any of the above methods.

30 47. A method according to claim 40, wherein the disorder or condition being treated is schizophrenia with concomitant depression.

48. A method according to claim 40, wherein the disorder or condition being treated is schizophrenia with concomitant anxiety.